Pharmaceutical Aids

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Introduction

1. Pharmaceutical aids can be defined as the inert substances which have no or little pharmacological effect but are essential to be used in the preparation of pharmaceutical dosage forms.
2. These substances may be required to perform certain functions during successful manufacturing, storage and transportation, and use of pharmaceutical products.
3. These aids may remain in the final product, do not exert any specific action on the body upon administration of the product or are removed during processing.

Pharmaceutical aids can be classified into

1. Absorbents,
2. Adsorbents,
3. Acidifiers And Alkalisers,
4. Antioxidants,
5. Binders,
6. Buffers,
7. Buffering Agent,
8. Colouring Agents,
9. Disintegrating Agents,
10. Diluents,
11. Desiccants,
12. Emulsifying Agents,
13. Flavouring Agents,
14. Humectants,
15. Lubricants,
16. Ointment Bases,
17. Preservatives,
18. Sweetening Agents,
19. Texturing Agents,
20. Thickening Agents,
21. Solvents,
22. Suspending Agents,
23. Tonicity Modifiers,
24. Vehicles

Organoleptic Pharmaceutical Aids

1. Organoleptic substances promote appearance and palatability of pharmaceutical dosage form and contribute to the acceptance of the pharmaceutical products.
2. The flavoring, sweetening and coloring agents are grouped together as organoleptic aids.
3. Bitter and arid taste drugs are avoided by patients through oral route because of unpleasant taste and odour as well as colour.
4. Thus, organoleptic aids are incorporated to improve their colour flavour and taste, especially for products prescribed for pediatric and geriatric patients.
5. Organoleptic pharmaceutical aids are the components which have no therapeutic value but these are essential to formulate any drug into its products with attractive look for easy acceptability by the patients.

Colouring Agents

1. Colouring agents are added to provide distinctive colour with pleasing appearance or elegance to the dosage form.
2. Colour helps the manufacturer to control the product during its preparation as well as serving as a means of identification to the user.
3. Colouring agents may be soluble in the solvent system or suspended as insoluble powders.
4. All colouring agents used in pharmaceutical formulations must be approved and certified by FDA as per D&C Act 1940.

Types of coloring agents

(I) Natural colours: Natural colours are obtained from minerals, plants and animals.

A. **Mineral:** Minerals are frequently termed as pigments and are used to colour lotions, cosmetics and other preparation for internal and external use.

a. **Titanium dioxide (TiO2):** Naturally occurring oxide of titanium is used in cosmetics and skin care products as a pigment and a thickener. It is mostly commonly used in sunscreen products.

b. **Ferric oxide:** Iron oxide is a mineral produced by fine grinding of ores. Red and yellow iron oxide is used in manufacturing of coloured cosmetics.

Types of coloring agents

(I) Natural colours: Natural colours are obtained from minerals, plants and animals.

B. **Plant:** The colour constituents from plants are obtained through extraction.

A. **Indigo:** It is obtained from plant Indigofera anconta. The colour spectrum is 420-450 nm. The colour wavelength is in between blue and violet.

B. **B-Carotene:** It is a carotenoid comes under natural pigments found in carrots, spinach and broccoli. The orange colour is masked by green colour of chlorophyll. Carotenoid, a source of vitamin A, can protect body against oxidative damage and can protect the product from UV light.

Types of coloring agents

(I) Natural colours: Natural colours are obtained from minerals, plants and animals.

C. **Animal colours:** These are obtained from animal source.

A. **Tyrian Blue:** This is obtained from oxidizing of a colourless secretion from the gland of snails.

B. **Cochineal:** This is obtained from an insect Coccus cactus and has a brilliant red colour (carminic acid).

Types of coloring agents

(1) Synthetic Colours: Synthetic colouring agents are used in pharmaceutical and cosmetic products to enhance their appearance without any toxicity.

(1) **Caramel:** It is commonly known as burnt sugar. The process of caramelization consists of heating sugar slowly to around 170 °C (340 °F). As the sugar heats, the molecules break down and re-form into compounds with a characteristic colour and flavour.

(2) **Coal tar dyes:** These are obtained from petrochemicals and coal. These are mostly aromatic azo dyes. Coal tar dyes are used in medicated shampoo, soap and ointment, etc.

(3) **Lake dyes:** These are aluminium or calcium salts of any water soluble colour. A lake pigment is manufactured by precipitating a dye with metallic salt.

Flavoring agents

1. Flavouring agents are usually used to mask the saline, bitter, sour, sweet taste sensations.
2. Flavouring agent is required in order to increase the patient acceptance.
3. Usual strength at which these agents used is 0.5 to 0.75%.
4. The selection of the flavouring agents depends on taste of drugs and the age of the patient, for examples, children like sweet candy like preparations with fruit flavour but adults may prefer less sweet preparation.
5. The chewable or effervescent tablets need flavours as well as sweetening agents to improve patient acceptance.

Flavoring agents

6. As the flavouring agents are often thermolabile and volatile, the time of incorporating them in formulations is critical.
7. They cannot be added to formulation when hot.
8. In case of liquid dosage forms these agents are added to the solvent or vehicle of the formulation in which it is most soluble or miscible.
9. The water soluble flavouring agents are added to the aqueous component of the formulation and poorly soluble flavouring agents are added to the alcoholic or other non-aqueous solvent of the formulation.
10. **Examples:** Volatile oils such as cocoa, citrus, cinnamon, orange and raspberry, clove, fennel, orange, wintergreen oil, and rose, jasmine, and lavender are used as flavours.

Sweetening agents

1. Sweetening agents are used to impart sweet taste to the bitter pharmaceutical formulation.
2. These agents need to be dissolved either when taken as solution or dissolved in saliva.
3. It is used to impart acceptable taste to the oral formulation because all drugs for oral use may not have pleasant taste and often unpleasant taste is to be masked.

Sweetening agents

I. Sucrose:

- Sucrose is soluble in water and is easily available in highly purified form.
- It is physico-chemically stable at pH 4-8.
- It is frequently used in conjugation with sorbitol, glycerin and other polyols which reduce the tendency of sucrose to crystalline.

II. Liquid glucose:

- Liquid glucose, also known as glucose syrup or confectioner's glucose, is syrup made from the hydrolysis of starch.
- Glucose syrup is used to sweeten, soften texture and add volume.

Sweetening agents

III. Saccharin:

- It is an artificial (synthetic) sweetening agent which is almost 500 times sweet as sugar but gives a bitter taste aftertaste.
- Its main limitation is its carcinogenic property which makes it a secondary choice.

IV. Cyclamates:

- Sodium cyclamate is an artificial sweetener, 30-50 times sweeter than sucrose.
- It is often used with saccharin in a ratio of 10:1 to mask the off-tastes of both sweeteners.
- Now, being a carcinogenic, it has been banned.

Sweetening agents

V. Aspartame:

- It is the methyl ester of aspartic acid and phenyl alanine.
- It is hygroscopic in nature and thus has less stability in presence of moisture.

V. Sucralose:

- It is a non-nutritive non-caloric sweetener.
- It is about 320 - 1,000 times sweeter than sucrose, twice sweeter than saccharin, and three times sweeter than aspartame.
- It is a sweetener of choice due to its favorable low- calorie, higher degree of sweetness, stability and safety properties.

Preservatives

1. Preservative is a substance commonly added to pharmaceutical product in order to prolong its shelf life.
2. The addition of preservative to products that have higher water content is essential for avoiding alteration and degradation by microorganisms during storage.
3. Preservatives are added in pharmaceutical preparation to inhibit growth of bacteria, yeasts, or molds that can cause disease.
4. Chemical preservation cannot totally keep products away from spoiling, but they slow down the spoiling process caused by microorganisms.
5. Frozen and canned products often do not contain any preservatives.

- A preservative is a natural or synthetic chemical that is added to pharmaceutical products to prevent decomposition by microbial growth or by undesirable chemical changes.

Ideal Properties of Preservatives

1. It should be non-irritant, non-toxic and physico-chemically stable.
2. It should be compatible with other ingredients used in formulation.
3. It should act as antimicrobial agent and exert wide spectrum of activity.
4. It should be potent and act effectively in small concentrations.
5. It should maintain activity throughout product manufacturing, shelf life and usage.

Types with Examples and Uses

(I) Based on mechanism of action:

- (i) Antioxidants: The agents that prevent oxidation of drugs which otherwise undergo degradation due to oxidation as they are sensitive to oxygen.

  Examples: Vitamin E, vitamin C, butylated hydroxyanisole

- (ii) Antimicrobial agents: These are agent that acts against gram positive and gram negative microorganism responsible for causing degradation of pharmaceutical preparation.

  Examples: Sodium benzoate, sorbates, methyl paraben,

- (iii) Chelating agents: These are agents which form the complex with the pharmaceutical ingredient and prevent degradation of pharmaceutical formulation.

  Examples: Disodium ethylenediamine tetraacetic acid (EDTA)

Types with Examples and Uses

II. Based on source:

(i) Natural preservatives: These are substances obtained from natural sources such as plant, mineral sources and animals which act as antimicrobial agents.

Examples: Neem oil, sodium chloride, lemon, honey, etc.

(ii) Artificial preservatives: These are agents prepared by chemical synthesis which acts against various micro-organisms in small concentrations.

Examples: Benzoates, sodium benzoate, sorbates, propionates, nitrites, etc.

❑ **Ethyl Alcohol:**

- Ethanol is bactericidal in aqueous mixtures at optimum concentration 70% V/V.
- Antimicrobial activity is enhanced in the presence of eidetic acid or edentate salts. Ethanol is inactivated in the presence of nonionic surfactants and is ineffective against bacterial spores.

❑ **Tocopherols:**

- Alpha tocopherol is a source of vitamin E, and is a commercially available preservative.
- Amongst tocopherols the beta, delta, and gamma tocopherols are considered to be more effective as antioxidants.
- Tocopherols are of value in oil-or fat-based pharmaceutical products and are normally used in the concentration range 0.001-0.05% w/v.

❑ **Sodium Benzoate:**

- Sodium benzoate is used as preservative that becomes effective at concentrations of 0.02-0.5% in oral medicines, 0.5% in parenteral products, and 0.1-0.5% in cosmetics.
- It is effective over a narrow pH range and is used in preference to benzoic acid due to its greater solubility.
- It may impart an unpleasant flavour to a product.

❑ **Potassium Benzoate:**

- Potassium benzoate's preservative efficacy increases with decreasing pH and is most effective below pH 4.5.
- However, at very low pH undissociated benzoic acid may produce a perceptible taste in products.
- It is used as an alternative to sodium benzoate in applications where low sodium content is desirable.

❑ **Methyl Paraben:**

- Methyl paraben is used in almost all types of pharmaceutical formulations. It may be used either alone or in combination with other parabens or with other antimicrobial agents.
- Methyl paraben is most effective against yeasts and molds over a wide range of pH and have a broad spectrum of antimicrobial activity.

❑ **Propyl Paraben:**

- Propyl paraben is a benzoate ester (propyl ester) of 4-hydroxybenzoic acid.
- It is typically used in many water-based cosmetics, such as creams, lotions, shampoos and bath products.
- It can also be used as a food additive.
- It acts as an antifungal agent and an antimicrobial agent.

Reference

- A Textbook of Pharmaceutics by Dr. Ashok Hajare, Nirali Prakashan